

(FILE 'HOME' ENTERED AT 15:22:39 ON 30 NOV 2006)

FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006

L1           STRUCTURE UPLOADED  
L2           2 S L1 SSS SAM  
L3           63 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006

L4           8 S L3/THU  
L5           1 S L4 NOT PY>2002  
L6           18 S L3 NOT PY>2003  
L7           11 S L6 AND (HIV OR (HUMAN(W) IMMUNODEFICIENCY))

FILE 'USPATFULL' ENTERED AT 15:27:29 ON 30 NOV 2006

L8           20 S L3 NOT PY>2003  
L9           18 S L8 AND (HIV OR (HUMAN(W) IMMUNODEFICIENCY))

FILE 'REGISTRY' ENTERED AT 16:04:09 ON 30 NOV 2006

L10          1 S ABACAVIR/CN  
L11          1 S TENOFOVIR/CN  
L12          1 S RACIVIR/CN  
L13          1 S RTV/CN  
L14          0 S IDV/CN  
L15          4 S APV/CN  
L16          1 S DIDANOSINE/CN

FILE 'CAPLUS' ENTERED AT 16:06:31 ON 30 NOV 2006

L17          2335 S L10/THU OR L11/THU OR L12/THU OR L16/THU  
L18          686 S L17 NOT PY>2000  
L19          593 S L18 AND (HIV)

FILE 'CAPLUS' ENTERED AT 16:11:39 ON 30 NOV 2006

L20          599 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR  
L21           3 S L20 AND L3  
L22          10 S L20 AND DIOXOLANE  
L23          3 S L22 NOT PY>2002  
L24          4 S L22 NOT PY>2003

FILE 'USPATFULL' ENTERED AT 16:16:12 ON 30 NOV 2006

L25          426 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR  
L26          3 S L25 AND L3

=> file registry  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6  
DICTIONARY FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

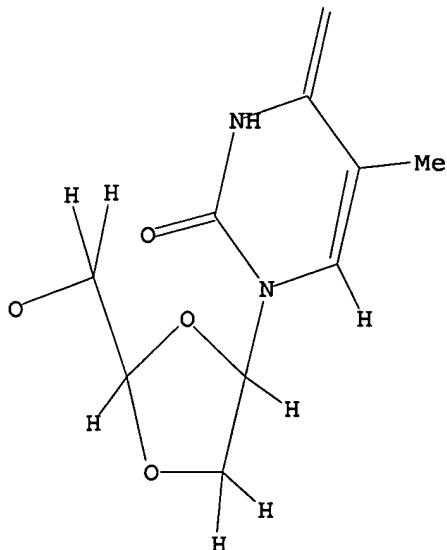
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10530088.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam  
SAMPLE SEARCH INITIATED 15:23:42 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

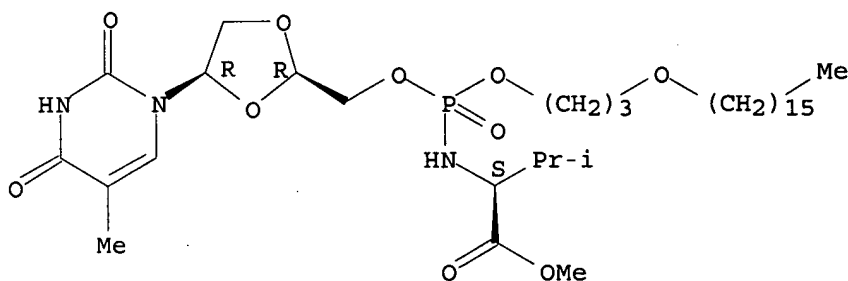
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 5 TO 234  
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d l2 scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN L-Valine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-  
pyrimidinyl)-1,3-dioxolan-2-yl]methoxy][3-(hexadecyloxy)propoxy]phosphinyl  
]-, methyl ester (9CI)  
MF C34 H62 N3 O10 P

Absolute stereochemistry.

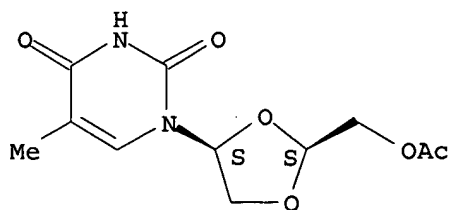


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-  
4-yl]-5-methyl-, rel- (9CI)  
MF C11 H14 N2 O6

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s l2 sss full  
FULL SEARCH INITIATED 15:24:13 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 222 TO ITERATE

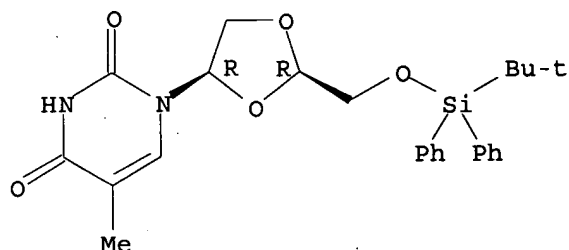
100.0% PROCESSED 222 ITERATIONS 63 ANSWERS  
SEARCH TIME: 00.00.01

L3 63 SEA SSS FUL L1

=> d l3 scan

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI)  
MF C25 H30 N2 O5 Si

Absolute stereochemistry. Rotation (-).

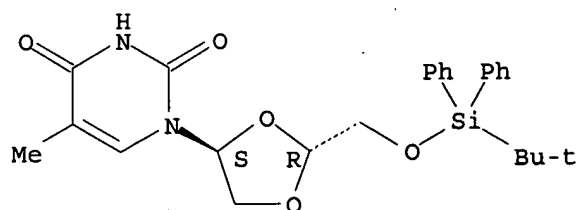


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-5-methyl-, trans- (9CI)  
MF C25 H30 N2 O5 Si

Relative stereochemistry.

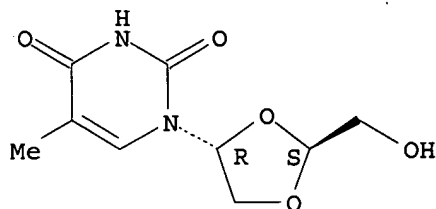


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI)

MF C9 H12 N2 O5

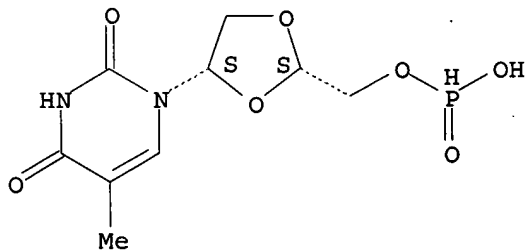
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Phosphonic acid, mono[[4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-  
pyrimidinyl)-1,3-dioxolan-2-yl]methyl] ester, cis- (9CI)  
MF C9 H13 N2 O7 P

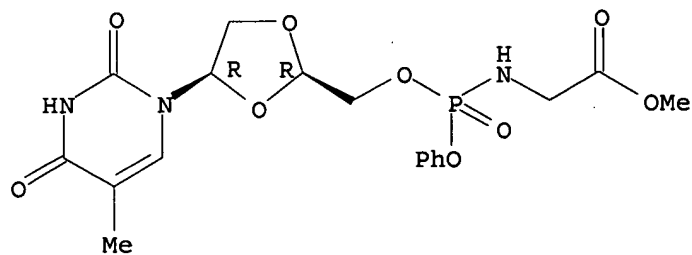
Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
IN Glycine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-  
1,3-dioxolan-2-yl]methoxy]phenoxyphosphinyl]-, methyl ester (9CI)  
MF C18 H22 N3 O9 P

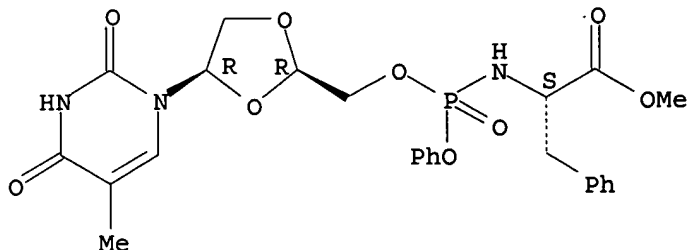
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN  
 IN L-Phenylalanine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy]phenoxyphosphinyl]-, methyl ester (9CI)  
 MF C25 H28 N3 O9 P

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
167.82	168.03

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 30 Nov 2006 VOL 145 ISS 23

FILE LAST UPDATED: 29 Nov 2006 (20061129/ED)

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<http://www.cas.org/infopolicy.html>

=> s 13/thu

29 L3

834503 THU/RL

L4

8 L3/THU

(L3 (L) THU/RL)

=> s 14 not py>2002

4632883 PY>2002

L5

1 L4 NOT PY>2002

=> d 15 ti abs bib

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs  
AB This invention includes the compds. 2'-deoxy-5-fluoro-3'-oxacytidines and pharmaceutically acceptable salts thereof for use in medical therapy, for example for the treatment or prophylaxis of an HIV infection (EC50 = 0.013-0.027  $\mu$ M) with cytotoxicity of (IC50 < 1  $\mu$ M).  
AN 1999:17124 CAPLUS  
DN 130:66736  
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs  
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg  
PA Emory University, USA  
SO U.S., 16 pp., Cont.-in-part of U.S. 5,210,085.  
CODEN: USXXAM  
DT Patent  
LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5852027	A	19981222	US 1993-150012	19931109
	US 5210085	A	19930511	US 1991-659760	19910222
	US 5276151	A	19940104	US 1991-803028	19911206
	WO 9214729	A1	19920903	WO 1992-US1393	19920221
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 715577	B3	20000203	AU 1999-59571	19991119
PRAI	US 1991-659760	A2	19910222		
	US 1991-736089	B2	19910726		
	US 1991-803028	A2	19911206		
	WO 1992-US1393	W	19920221		
	US 1990-473318	A2	19900201		
	US 1993-15992	A	19930210		

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 13 not py>2003

29 L3

3575313 PY>2003

L6

18 L3 NOT PY>2003

=> s 16 and (HIV or (human(w)immunodeficiency))

69212 HIV

1688347 HUMAN

70698 IMMUNODEFICIENCY

59243 HUMAN(W)IMMUNODEFICIENCY

L7

11 L6 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

=> d 17 1-11 ti

L7 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs

L7 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Synthesis of dioxolane-T related nucleosides as potential anti-HIV agents

L7 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI High-performance liquid chromatographic determination of the isomeric purity of a series of dioxolane nucleoside analogs

L7 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI L- $\beta$ - (2S,4S)- and L- $\alpha$ - (2S,4R)-dioxolanyl nucleosides as

potential anti-HIV agents: asymmetric synthesis and  
structure-activity relationships

L7 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof

L7 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Potent anti-HIV and anti-HBV activities of (-)-L- $\beta$ -  
dioxolane-C and (+)-L- $\beta$ -dioxolane-T and their asymmetric syntheses

L7 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Substrate specificity of Escherichia coli thymidine phosphorylase for  
pyrimidine nucleosides with anti-human immunodeficiency  
virus activity

L7 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their  
anti-HIV activity.

L7 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-  
thymine and its anti-HIV activity

L7 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents  
dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro  
activity against HIV

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI ( $\pm$ )-Dioxolane-T (( $\pm$ )-1-[(2 $\beta$ ,4 $\beta$ )-2-(hydroxymethyl)-4-  
dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in  
vitro activity against HIV

=> d 17 1 2 4 5 6 8 9 10 11 ti abs bib

L7 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs  
AB This invention includes the compds. 2'-deoxy-5-fluoro-3'-oxacytidines and  
pharmaceutically acceptable salts thereof for use in medical therapy, for  
example for the treatment or prophylaxis of an HIV infection  
(EC50 = 0.013-0.027  $\mu$ M) with cytotoxicity of (IC50 < 1  $\mu$ M).  
AN 1999:17124 CAPLUS  
DN 130:66736  
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs  
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg  
PA Emory University, USA  
SO U.S., 16 pp., Cont.-in-part of U.S. 5,210,085.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 5852027	A	19981222	US 1993-150012	19931109
	US 5210085	A	19930511	US 1991-659760	19910222
	US 5276151	A	19940104	US 1991-803028	19911206
	WO 9214729	A1	19920903	WO 1992-US1393	19920221
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 715577	B3	20000203	AU 1999-59571	19991119
PRAI	US 1991-659760	A2	19910222		
	US 1991-736089	B2	19910726		
	US 1991-803028	A2	19911206		

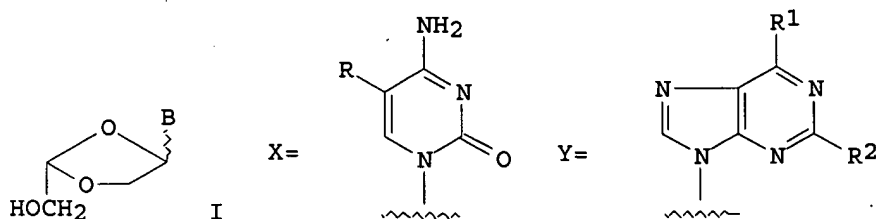


WO 1992-US1393            W        19920221  
US 1990-473318           A2      19900201  
US 1993-15992            A        19930210

RE.CNT 61        THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7    ANSWER 2 OF 11    CAPLUS    COPYRIGHT 2006 ACS on STN  
TI    Synthesis of dioxolane-T related nucleosides as potential anti-HIV  
      agents  
AB    Two new 6-azauracil dioxolane nucleosides (-)-(2R,4R)-1-(2-hydroxymethyl-  
      1,3-dioxolan-4-yl)-6-azauracil and (+)-(2R,4S)-1-(2-hydroxymethyl-1,3-  
      dioxolan-4-yl)-6-azauracil were prepared in ten stes from D-mannose.  
AN    1995:478560    CAPLUS  
DN    123:9855  
TI    Synthesis of dioxolane-T related nucleosides as potential anti-HIV  
      agents  
AU    Yoo, Jung Man; Seo, Hee Kyung; Choi, Bo Gil; Chung, Byung Ho; Hong, Joon  
      Hee; Chun, Moon Woo  
CS    Coll. Pharmacy, Chonnam Natl. Univ., Kwangju, 500-757, S. Korea  
SO    Yakhak Hoechi (1993), 37(6), 591-7  
      CODEN: YAHOA3; ISSN: 0513-4234  
PB    Pharmaceutical Society of Korea  
DT    Journal  
LA    Korean

L7    ANSWER 4 OF 11    CAPLUS    COPYRIGHT 2006 ACS on STN  
TI    L- $\beta$ -(2S,4S)- and L- $\alpha$ -(2S,4R)-dioxolanyl nucleosides as  
      potential anti-HIV agents: asymmetric synthesis and  
      structure-activity relationships  
GI

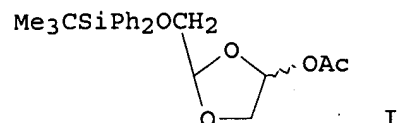


AB    Various enantiomerically pure L-(2S,4S)- and L-(2S,4R)-dioxolanyl  
      nucleosides, e.g. I (B = X, Y, R = H, Me, halo, R1 = Cl, NH2, OMe, R2 = H;  
      R1= NH2, R2 = Cl, NH2), have been prepared and evaluated against HIV  
      -1 in human peripheral blood mononuclear (PBM) cells. Among the compound  
      synthesized, (-)-(2S,4S)-I (B = X, R = F) was the most potent anti-  
      HIV activity (EC50 = 0.0012  $\mu$ M) although it was toxic (EC50 =  
      10.0  $\mu$ M). It is interesting to note that (+)-(2S,4R)-I (B = X, R = F)  
      exhibited an excellent anti-HIV activity (EC50 = 0.063  $\mu$ M)  
      without cytotoxicity up to 100  $\mu$ M in PBM cell.  
AN    1993:213427    CAPLUS  
DN    118:213427  
TI    L- $\beta$ -(2S,4S)- and L- $\alpha$ -(2S,4R)-dioxolanyl nucleosides as  
      potential anti-HIV agents: asymmetric synthesis and  
      structure-activity relationships  
AU    Kim, Hea O.; Schinazi, Raymond F.; Shanmuganathan, Kirupathevy; Jeong, Lak  
      S.; Beach, J. Warren; Nampalli, Satyanarayana; Cannon, Deborah L.; Chu,  
      Chung K.  
CS    Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA  
SO    Journal of Medicinal Chemistry (1993), 36(5), 519-28

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal  
LA English  
OS CASREACT 118:213427

L7 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof  
GI



AB Title nucleosides were prepared by coupling a 2-O-protected 5-O-acyl-1,3-dioxolane with a protected purine or pyrimidine base in presence of a Ti(IV) catalyst, preferably TiCl<sub>4</sub>, TiCl<sub>2</sub>(OCHMe<sub>2</sub>)<sub>2</sub>, or TiCl<sub>3</sub>(OCHMe<sub>2</sub>). Thus, coupling the acetates I with silylated thymine gave the β- and α-nucleosides in 7:1, 10:1, and >98:2 ratio with TiCl<sub>4</sub>, TiCl<sub>3</sub>(OCHMe<sub>2</sub>), and TiCl<sub>2</sub>(OCHMe<sub>2</sub>)<sub>2</sub> resp. The nucleosides have virucidal activity, 2'-deoxy-5-fluoro-3'-oxauridine having an in vivo anti-HIV-I ED<sub>50</sub> of 0.0063 μM.

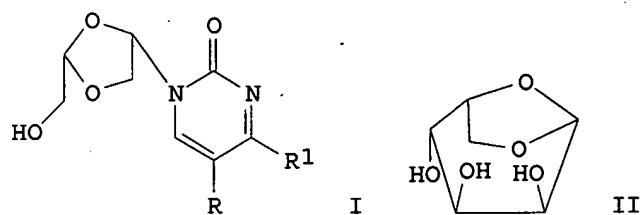
AN 1993:60049 CAPLUS  
DN 118:60049  
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof  
IN Liotta, Dennis C.; Schinazi, Raymond F.  
PA Emory University, USA  
SO PCT Int. Appl., 40 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9214729	A1	19920903	WO 1992-US1393	19920221
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5210085	A	19930511	US 1991-659760	19910222
	US 5276151	A	19940104	US 1991-803028	19911206
	AU 9214372	A1	19920915	AU 1992-14372	19920221
	US 5852027	A	19981222	US 1993-150012	19931109
	AU 715577	B3	20000203	AU 1999-59571	19991119
PRAI	US 1991-659760	A2	19910222		
	US 1991-736089	A2	19910726		
	US 1991-803028	A2	19911206		
	US 1990-473318	A2	19900201		
	WO 1992-US1393	A	19920221		
	US 1993-15992	A	19930210		
OS	MARPAT 118:60049				

L7 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses  
GI



AB The asym. syntheses of (+)-L- $\beta$ -dioxolane-T (I; R = Me, R1 = OH) and (-)-L- $\beta$ -dioxolane-C (I; R = H, R1 = NH<sub>2</sub>) were accomplished starting from 1,6-anhydro-L- $\beta$ -gulopyranose (II), and their anti- HIV and anti-HBV activities were evaluated in human PBM cells, CEM cells and 2.2.15 cells, resp.

AN 1993:60030 CAPLUS

DN 118:60030

TI Potent anti-HIV and anti-HBV activities of (-)-L- $\beta$ -dioxolane-C and (+)-L- $\beta$ -dioxolane-T and their asymmetric syntheses

AU Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves, Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi, Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu, Chung K.

CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA

SO Tetrahedron Letters (1992), 33(46), 6899-902

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

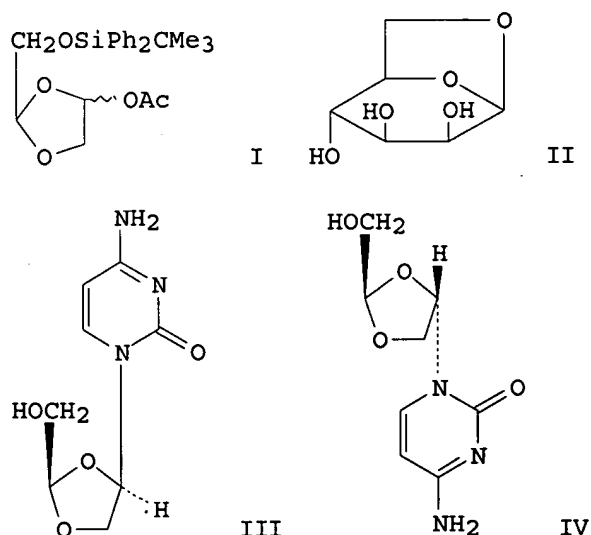
LA English

OS CASREACT 118:60030

L7 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.

GI

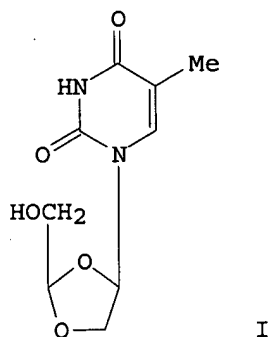


AB In order to study the structure-activity relationships of dioxolane nucleosides as potential anti-HIV agents, various enantiomerically pure dioxolane-pyrimidine nucleosides were synthesized and evaluated against HIV-1 in human peripheral blood mononuclear cells. The enantiomerically pure key intermediate I was synthesized in 9 steps from 1,6-anhydro-D-mannose (II), which was

condensed with 5-substituted pyrimidines to obtain various dioxolane-pyrimidine nucleosides. Upon evaluation of these compds., cytosine derivative III was found to exhibit the most potent anti-HIV agent although it is the most toxic. The order of anti-HIV potency was as follows: cytosine ( $\beta$ -isomer) > thymine > cytosine ( $\alpha$ -isomer) > 5-chlorouracil > 5-bromouracil > 5-fluorouracil derivs. Uracil, 5-methylcytosine, and 5-iodouracil derivs. were found to be inactive. Interestingly,  $\alpha$ -isomer IV showed good anti-HIV activity without cytotoxicity. As expected, other  $\alpha$ -isomers did not exhibit any significant antiviral activity. (-)-Dioxolane-T was 5-fold less effective against AZT-resistant virus than AZT-sensitive virus.

AN 1992:255957 CAPLUS  
 DN 116:255957  
 TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.  
 AU Kim, Hea O.; Ahn, Soon K.; Alves, Antonio J.; Beach, J. Warren; Jeong, Lak S.; Choi, Bo G.; Van Roey, Patrick; Schinazi, Raymond F.; Chu, Chung K.  
 CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA  
 SO Journal of Medicinal Chemistry (1992), 35(11), 1987-95  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 OS CASREACT 116:255957

L7 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity  
 GI



AB An asym. synthesis leading to the enantiomerically pure title compound (I) has been achieved and its conformation has been determined. I was found to have potent and selective anti-HIV activity in primary human lymphocytes.

AN 1991:632723 CAPLUS  
 DN 115:232723  
 TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity  
 AU Chu, Chung K.; Ahn, Soon K.; Kim, H. O.; Beach, J. Warren; Alves, Antonio J.; Jeong, Lak S.; Islam, Qamrul; Van Roey, Patrick; Schinazi, Raymond F.  
 CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA  
 SO Tetrahedron Letters (1991), 32(31), 3791-4  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English

L7 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro

activity against HIV

AB The title research of D. M. Huryn, B. C. Sluboski, SrY. Tam, L. J. Todaro, and M. Weigle (1989) and of D. W. Norbeck, S. S. Panton, S. Broder, and H. Mitsuyo (1989) is reviewed with commentary and 4 refs.

AN 1990:631785 CAPLUS

DN 113:231785

TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV

AU Ganem, Bruce

CS Cornell Univ., Ithaca, NY, USA

SO Chemtracts: Organic Chemistry (1990), 3(3), 249-51  
CODEN: CMOCEI; ISSN: 0895-4445

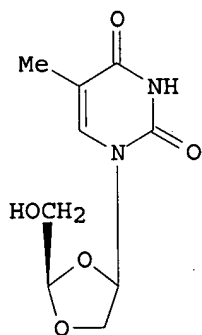
DT Journal; General Review

LA English

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

TI ( $\pm$ )-Dioxolane-T (( $\pm$ )-1-[(2 $\beta$ ,4 $\beta$ )-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV

GI



AB A novel analog I of 3'-deoxythymidine, in which the 3'-carbon is replaced by oxygen, was synthesized in 5 steps from (benzyloxy)acetaldehyde di-Me acetal and Me ( $\pm$ )-glycerate. In ATH8 cells, I showed significant inhibition of the infectivity and cytopathic effect of HIV at a concentration of 20  $\mu$ M, while the growth of the uninfected control cells was not affected by concns. as high as 200  $\mu$ M. X-ray crystallog. anal. confirmed the assignment of stereochem. and established a 3T4 type conformation of the dioxolane ring.

AN 1990:424406 CAPLUS

DN 113:24406

TI ( $\pm$ )-Dioxolane-T (( $\pm$ )-1-[(2 $\beta$ ,4 $\beta$ )-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV

AU Norbeck, Daniel W.; Spanton, Stephen; Broder, Samuel; Mitsuya, Hiroaki

CS Anti-infect. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA

SO Tetrahedron Letters (1989), 30(46), 6263-6  
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 113:24406

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=> s 13 not py>2003  
 25 L3

1168591 PY>2003  
 L8 20 L3 NOT PY>2003

=> s 18 and (HIV or (human(w)immunodeficiency))  
 46335 HIV  
 531837 HUMAN  
 26144 IMMUNODEFICIENCY  
 19516 HUMAN(W)IMMUNODEFICIENCY  
 L9 18 L8 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

=> d 19 1-18 ti

L9 ANSWER 1 OF 18 USPATFULL on STN  
 TI 2-Substituted-4-substituted-1,3-dioxolanes and use thereof

L9 ANSWER 2 OF 18 USPATFULL on STN  
 TI SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH  
 ANTIVIRAL PROPERTIES

L9 ANSWER 3 OF 18 USPATFULL on STN  
 TI Processes for preparing substituted 1,3-oxathiolanes with antiviral  
 properties

L9 ANSWER 4 OF 18 USPATFULL on STN  
 TI Enantiomerically pure  $\beta$ -D-dioxolane-nucleosides

L9 ANSWER 5 OF 18 USPATFULL on STN  
 TI Antiviral 1,3-dioxolane nucleoside analogues

L9 ANSWER 6 OF 18 USPATFULL on STN  
 TI Enantiomerically pure B--D--dioxolane nucleosides with selective  
 anti-hepatitis B virus activity

L9 ANSWER 7 OF 18 USPATFULL on STN  
 TI Enantiomerically pure  $\beta$ -di-dioxolane-nucleosides with selective  
 anti-hepatitis B virus activity

L9 ANSWER 8 OF 18 USPATFULL on STN  
 TI Enantiomerically pure  $\beta$ -d-dioxolane nucleosides

L9 ANSWER 9 OF 18 USPATFULL on STN  
 TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-  
 thiacytidine and related compounds

L9 ANSWER 10 OF 18 USPATFULL on STN  
 TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties

L9 ANSWER 11 OF 18 USPATFULL on STN  
 TI Enantiomerically pure  $\beta$ -D-dioxolane nucleosides with selective anti-hepatitis B virus activity

L9 ANSWER 12 OF 18 USPATFULL on STN  
 TI 4-(purinyl bases)-substituted-1,3-dioxlanes

L9 ANSWER 13 OF 18 USPATFULL on STN  
 TI Process for enantiomerically pure  $\beta$ -L-1,3-oxathiolane nucleosides

L9 ANSWER 14 OF 18 USPATFULL on STN  
 TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds

L9 ANSWER 15 OF 18 USPATFULL on STN  
 TI Process for the preparation of enantiomerically pure  $\beta$ -D-(-)-dioxolane-nucleosides

L9 ANSWER 16 OF 18 USPATFULL on STN  
 TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties

L9 ANSWER 17 OF 18 USPATFULL on STN  
 TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties

L9 ANSWER 18 OF 18 USPATFULL on STN  
 TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of retroviral infections

=> d 19 1 2 5 6 7 8 10 11 13 15 16 17 18 ti bas bib  
 'BAS' IS NOT A VALID FORMAT FOR FILE 'USPATFULL'

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 FPALL ----- PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI, RLI, PRAI, IC, IPCI, IPCI-2, IPCR, INCL, INCLM, INCLS, NCL, NCLM, NCLS, EXF, REP, REN, ARTU, EXNAM, LREP, CLMN, DRWN, AB,

PARN, SUMM, DRWD, DETD, CLM  
 FPBIB ----- PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI,  
 RLI, PRAI, REP, REN, EXNAM, LREP, CLM, CLMN, DRWN  
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 its structure diagram  
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 HITSTR ----- HIT RN, its text modification, its CA index name, and  
 its structure diagram  
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 IALL ----- ALL, indented with text labels  
 IALLG ----- IALL plus PAGE.DRAW  
 IBIB ----- BIB, indented with text labels  
 IBIB.EX ---- IBIB for original and latest publication  
 IBIBG ----- IBIB plus PAGE.DRAW  
 IMAX ----- MAX, indented with text labels  
 IMAX.EX ---- IMAX for original and latest publication  
 IND ----- INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC, IPCI, IPCI-2, IPCR,  
 EXF, ARTU, OS, CC, SX, ST, IT  
 IPC.TAB ---- IPC in tabular format  
 ISTD ----- STD, indented with text labels  
 KWIC ----- All hit terms plus 20 words on either side  
 MAX ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,  
 RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,  
 DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,  
 INCLM, INCLS, NCL, NCLM, NCLS, IC, IPCI, IPCI-2,  
 IPCR, EXF, ARTU OS, CC, SX, ST, IT  
 MAX.EX ---- MAX for original and latest publication  
 OCC ----- List of display fields containing hit terms  
 SBIB ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,  
 DT, FS, LN.CNT  
 STD ----- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,  
 DT, FS, LN.CNT, INCL, INCLM, INCLS, NCL, NCLM, NCLS,  
 IC, IPCI, IPCI-2, IPCR, EXF (STD is the default)  
 STD.EX ---- STD for original and latest publication  
 TRIAL ----- AN, TI, INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC,  
 IPCI, IPCI-2, IPCR  
  
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 without answer number. SCAN must be entered on the  
 same line as DISPLAY, e.g., D SCAN)  
 ENTER DISPLAY FORMAT (STD):ti abs bib

L9 ANSWER 1 OF 18 USPATFULL on STN  
 TI 2-Substituted-4-substituted-1,3-dioxolanes and use thereof  
 AB Nucleoside analogues containing a 1,3-dioxolane structure are suitable  
 antiviral agents, particularly for the treatment of the HIV  
 infections in mammals, especially humans. Examples of the nucleoside  
 analogues include:

cis-2-acetoxymethyl-4-(thymine-1'-yl)-1,3-dioxolane,  
 cis-2-hydroxymethyl-4-(thymine-1'-yl)-1,3-dioxolane,  
 cis-2-benzoyloxymethyl-4-(cytosine-1'-yl)-1,3-dioxolane, and  
 cis-2-hydroxymethyl-4-(cytosine-1'-yl)-1,3-dioxolane.

These compounds can be in the form of their racemates or their separate  
 enantiomers.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.



AN 2002:39929 USPATFULL  
TI 2-Substituted-4-substituted-1,3-dioxolanes and use thereof  
IN Belleau, Bernard, late of Westmount, CANADA deceased  
Pierette Belleau, United States executrix  
Dixit, Dilip M., Roxboro, CANADA  
Nguyen-Ba, Paul, La Prairie, CANADA  
PA Biochem Pharma Inc., Quebec, CANADA (non-U.S. corporation)  
PI US 6350753 B1 20020226  
AI US 1998-163374 19980930 (9)  
RLI Continuation of Ser. No. US 1994-306830, filed on 15 Sep 1994 Division  
of Ser. No. US 1991-666045, filed on 7 Mar 1991, now patented, Pat. No.  
US 5270315 Continuation of Ser. No. US 1990-564160, filed on 7 Aug 1990,  
now abandoned Continuation-in-part of Ser. No. US 1990-546676, filed on  
29 Jun 1990, now patented, Pat. No. US 5041449 Continuation-in-part of  
Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US  
5047407 Continuation of Ser. No. US 1988-179165, filed on 11 Apr 1988,  
now abandoned  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie,  
Thomas  
LREP Millen, White, Zelano & Branigan, P.C.  
CLMN Number of Claims: 39  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 2565  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 18 USPATFULL on STN  
TI SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH  
ANTIVIRAL PROPERTIES  
AB Disclosed are compounds of the formula

wherein

R.sub.1 is hydrogen or an acyl group having 1 to 16 carbon atoms;

R.sub.2 is a purine or pyrimidine base or an analogue or derivative  
thereof;

Z is O, S, S.dbd.O or SO.sub.2; and

pharmaceutically acceptable derivatives thereof.

Also described are processes for and intermediates of use in their  
preparation, pharmaceutical compositions containing these compounds, and  
the use of these compounds in the antiviral treatment of mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:150577 USPATFULL  
TI SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH  
ANTIVIRAL PROPERTIES  
IN BELLEAU, BERNARD, QUEBEC, Canada  
BELLEAU, PIERETTE, QUEBEC, Canada LR  
NGUYEN-BA, NGHE, QUEBEC, Canada  
PI US 2001020026 A1 20010906  
AI US 1998-172848 A1 19981015 (9)  
RLI Continuation-in-part of Ser. No. US 1994-306830, filed on 15 Sep 1994,  
PENDING Continuation of Ser. No. US 1990-564160, filed on 7 Aug 1990,  
ABANDONED  
DT Utility  
FS APPLICATION  
LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE  
1400, ARLINGTON, VA, 22201

CLMN Number of Claims: 37  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 2475  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 18 USPATFULL on STN  
TI Antiviral 1,3-dioxolane nucleoside analogues  
AB This invention includes the compounds 2'-deoxy-5-fluoro-3'-oxacytidine, (-)-2'-deoxy-5-fluoro-3'-oxacytidine, and (+)-2'-deoxy-5-fluoro-3'-oxacytidine, and pharmaceutically acceptable salts thereof for use in medical therapy, for example for the treatment or prophylaxis of an HIV infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:159957 USPATFULL  
TI Antiviral 1,3-dioxolane nucleoside analogues  
IN Liotta, Dennis C., McDonough, GA, United States  
Schinazi, Raymond F., Decatur, GA, United States  
Choi, Woo-Baeg, North Brunswick, NJ, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5852027 19981222  
WO 9214729 19920903  
AI US 1993-150012 19931109 (8)  
WO 1992-US1393 19920221  
19931109 PCT 371 date  
19931109 PCT 102(e) date  
RLI Continuation-in-part of Ser. No. US 1991-659760, filed on 22 Feb 1991, now patented, Pat. No. US 5210085 Ser. No. US 1991-803028, filed on 6 Dec 1991, now patented, Pat. No. US 5276151 And Ser. No. US 1991-736089, filed on 26 Jul 1991, now abandoned  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Kight, John; Assistant Examiner: Crane, L. Eric  
LREP Knowles, Sherry M., Haley, Jacqueline King & Spalding  
CLMN Number of Claims: 7  
ECL Exemplary Claim: 1,4,5  
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)  
LN.CNT 1305  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 18 USPATFULL on STN  
TI Enantiomerically pure B--D--dioxolane nucleosides with selective anti-hepatitis B virus activity  
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a  $\beta$ -dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:138907 USPATFULL  
TI Enantiomerically pure B--D--dioxolane nucleosides with selective anti-hepatitis B virus activity  
IN Schinazi, Raymond F., Decatur, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5834474 19981110  
AI US 1997-839713 19970415 (8)  
RLI Division of Ser. No. US 1995-471533, filed on 6 Jun 1995, now patented, Pat. No. US 5684010 which is a division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US

1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Lambkin, Deborah C.; Assistant Examiner: Lutz, Laura R.C.  
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding  
CLMN Number of Claims: 76  
ECL Exemplary Claim: 1  
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)  
LN.CNT 1204  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 7 OF 18 USPATFULL on STN  
TI Enantiomerically pure  $\beta$ -di-dioxolane-nucleosides with selective anti-hepatitis B virus activity  
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a  $\beta$ -dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:135044 USPATFULL  
TI Enantiomerically pure  $\beta$ -di-dioxolane-nucleosides with selective anti-hepatitis B virus activity  
IN Schinazi, Raymond F., Decatur, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5830898 19981103  
AI US 1997-838072 19970415 (8)  
RLI Division of Ser. No. US 1995-471533, filed on 6 Jun 1995, now patented, Pat. No. US 5684010 which is a division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Lambkin, Deborah C.; Assistant Examiner: Lutz, Laura R. C.  
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding  
CLMN Number of Claims: 20  
ECL Exemplary Claim: 1  
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)  
LN.CNT 1134  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 8 OF 18 USPATFULL on STN  
TI Enantiomerically pure  $\beta$ -d-dioxolane nucleosides  
AB A method and composition for the treatment of humans infected with HIV that includes the administration of an HIV treatment amount of an enantiomerically pure  $\beta$ -D-dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:69040 USPATFULL  
TI Enantiomerically pure  $\beta$ -d-dioxolane nucleosides  
IN Chu, Chung K., Athens, GA, United States  
Schinazi, Raymond F., Decatur, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
The University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)

PI US 5767122 19980616  
AI US 1995-469465 19950606 (8)  
RLI Division of Ser. No. US 1992-935515, filed on 25 Aug 1992 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Qazi, Sabiha N.  
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding  
CLMN Number of Claims: 13  
ECL Exemplary Claim: 1  
DRWN 3 Drawing Figure(s); 3 Drawing Page(s)  
LN.CNT 1081  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 10 OF 18 USPATFULL on STN  
TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties  
AB Disclosed are processes for preparing compounds of the formula (I) and pharmaceutically acceptable salts or esters thereof: ##STR1## wherein R.sub.2 is a purine or pyrimidine base or an analogue or derivative thereof; and Z is S, S.dbd.O or SO.sub.2. The invention also relates to intermediates of use in the preparation of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:101914 USPATFULL  
TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties  
IN Belleau, deceased, Bernard, late of Westmount, Canada by Pierrette Belleau, executrix  
Mansour, Tarek, Montreal, Canada  
Tse, Allan, Ville St-Laurent, Canada  
Evans, Colleen A., Montreal, Canada  
Jin, Haolun, Pierrefonds, Canada  
Zacharie, Boulos, Laval des Rapides, Canada  
Nguyen-Ba, Nghe, La Prairie, Canada  
PA BioChem Pharma Inc., Laval, Canada (non-U.S. corporation)  
PI US 5684164 19971104  
AI US 1995-468548 19950606 (8)  
RLI Division of Ser. No. US 1993-40163, filed on 29 Mar 1993, now patented, Pat. No. US 5466806 which is a continuation-in-part of Ser. No. US 1990-564160, filed on 7 Aug 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US 5047407 And Ser. No. US 1990-546676, filed on 29 Jun 1990, now patented, Pat. No. US 5041449 which is a continuation of Ser. No. US 1988-179615, filed on 11 Apr 1988, now abandoned  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Ford, John M.  
LREP Fish & Neave, Haley, Jr., James F., McDonell, Leslie A.  
CLMN Number of Claims: 2  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1245  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 18 USPATFULL on STN  
TI Enantiomerically pure  $\beta$ -D-dioxolane nucleosides with selective anti-hepatitis B virus activity  
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a  $\beta$ -dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable

carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:101764 USPATFULL  
TI Enantiomerically pure  $\beta$ -D-dioxolane nucleosides with selective anti-hepatitis B virus activity  
IN Schinazi, Raymond F., Decatur, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5684010 19971104  
AI US 1995-471533 19950606 (8)  
RLI Division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Cross, Laura R.  
LREP Knowles, Esq., Sherry M. King & Spalding  
CLMN Number of Claims: 40  
ECL Exemplary Claim: 1  
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)  
LN.CNT 1125

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 13 OF 18 USPATFULL on STN  
TI Process for enantiomerically pure  $\beta$ -L-1,3-oxathiolane nucleosides  
AB An asymmetric process for the preparation of enantiomerically pure  $\beta$ -L-(-)-1,3-oxathiolane-nucleosides that includes the initial preparation of the key chiral intermediates (2R,5R) and (2R,5S)-5-(O-protected)-2-(protected-oxymethyl)-1,3-oxathiolane from 1,6-thioanhydro-L-gulose. The 2R,5(R,S)-5-(O-protected)-2-(protected-oxymethyl)-1,3-oxathiolane is condensed with a desired heterocyclic base, typically a purine or pyrimidine base, to provide the product nucleoside. The synthesis can be used to prepare the pharmaceutically important compound,  $\beta$ -L-(-)-1-[(2 $\beta$ ,4 $\beta$ )-2-(hydroxymethyl)-4-(1,3-thioxolane)]cytosine ( $\beta$ -L-(-)BCH-189).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 93:80847 USPATFULL  
TI Process for enantiomerically pure  $\beta$ -L-1,3-oxathiolane nucleosides  
IN Chu, Chung K., Athens, GA, United States  
Jeong, Lak-Shin, Athens, GA, United States  
Beach, J. Warren, Athens, GA, United States  
PA University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)  
PI US 5248776 19930928  
AI US 1991-803086 19911205 (7)  
RLI Continuation-in-part of Ser. No. US 1991-699197, filed on 13 May 1991 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Tsang, Cecilia  
LREP Kilpatrick & Cody  
CLMN Number of Claims: 10  
ECL Exemplary Claim: 1  
DRWN 4 Drawing Figure(s); 4 Drawing Page(s)  
LN.CNT 791

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 15 OF 18 USPATFULL on STN  
TI Process for the preparation of enantiomerically pure  $\beta$ -D-(-)-dioxolane-nucleosides

AB An asymmetric process for the preparation of enantiomerically pure  $\beta$ -D-(-)-dioxolane-nucleosides. The enantiomerically pure dioxolane nucleosides are active HIV agents, that are significantly more effective than the prior prepared racemic mixtures of the nucleosides. The anti-viral activity of the compounds is surprising in light of the generally accepted theory that moieties in the endo conformation, including these dioxolanes, are not effective antiviral agents. The toxicity of the enantiomerically pure dioxolane nucleosides is lower than that of the racemic mixture of the nucleosides, because the nonnaturally occurring  $\alpha$ -isomer is not included.

The product can be used as a research tool to study the inhibition of HIV in vitro or can be administered in a pharmaceutical composition to inhibit the growth of HIV in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 93:3585 USPATFULL  
TI Process for the preparation of enantiomerically pure  $\beta$ -D-(-)-dioxolane-nucleosides  
IN Chu, Chung K., Athens, GA, United States  
Schinazi, Raymond F., Decatur, GA, United States  
PA University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)  
PI US 5179104 19930112  
AI US 1990-622762 19901205 (7)  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Shen, Cecilia  
LREP Kilpatrick & Cody  
CLMN Number of Claims: 14  
ECL Exemplary Claim: 1  
DRWN 2 Drawing Figure(s); 3 Drawing Page(s)  
LN.CNT 765

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 16 OF 18 USPATFULL on STN  
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties  
AB Methods and compositions for preventing or treating human immunodeficiency virus (HIV) infections characterized by 2-substituted-5-substituted-1,3-oxathiolanes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 92:80825 USPATFULL  
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties  
IN Belleau, Bernard, Westmount, Canada  
Nguyen-Ba, Nghe, Brossard, Canada  
PA BioChem Pharma Inc., Laval, Canada (non-U.S. corporation)  
PI US 5151426 19920929  
AI US 1991-716627 19910617 (7)  
RLI Division of Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US 5047407  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Tsang, Cecilia  
LREP Haley, Jr., James F., McDonell, Leslie A.  
CLMN Number of Claims: 10  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 530

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 17 OF 18 USPATFULL on STN  
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties  
AB Methods and compositions for preventing or treating human

immunodeficiency virus (HIV) infections characterized  
by 2-substituted-5-substituted-1,3-oxathiolanes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 91:73359 USPATFULL  
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties  
IN Belleau, Bernard, Westmount, Canada  
Nguyen-Ba, Nghe, Brossard, Canada  
PA IAF BioChem International, Inc., Montreal, Canada (non-U.S. corporation)  
PI US 5047407 19910910  
AI US 1989-308101 19890208 (7)  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Rivers, Diana G.  
LREP Haley, Jr., James F., McDonell, Leslie A.  
CLMN Number of Claims: 13  
ECL Exemplary Claim: 1,6  
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)  
LN.CNT 568

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 18 OF 18 USPATFULL on STN  
TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of  
retroviral infections  
AB There are provided novel 2-substituted-4-substituted-1,3-dioxolanes  
which are particularly useful as antiviral agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 91:66802 USPATFULL  
TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of  
retroviral infections  
IN Belleau, Bernard, Westmount, Canada  
Dixit, Dilip, Roxboro, Canada  
Nguyen-Ba, Nghe, La Prairie, Canada  
PA IAF BioChem International, Inc., Montreal, Canada (non-U.S. corporation)  
PI US 5041449 19910820  
AI US 1990-546676 19900629 (7)  
RLI Continuation of Ser. No. US 1988-179615, filed on 11 Apr 1988, now  
abandoned  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Rivers, Diana  
LREP Haley, Jr., James F., McDonell, Leslie A.  
CLMN Number of Claims: 6  
ECL Exemplary Claim: 1,6  
DRWN No Drawings  
LN.CNT 655

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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CA SUBSCRIBER PRICE	ENTRY	SESSION
	-4.50	-12.00
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FULL ESTIMATED COST	ENTRY	SESSION
	38.18	329.27
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	-4.50	-12.00

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=> s (XXBRU or K65R or M184V or L74V or 4Xazt or t215y or k103n or t215y/m184v or (5705-72) or (488-101) or (C910-6) or lalm184v or (G910-6)) and (HIV or (Human immunodeficiency virus))

'M184V' IS NOT A VALID FIELD CODE

1 XXBRU  
 125 K65R  
 301 M184V  
 63 L74V  
 0 4XAZT  
 137 T215Y  
 194 K103N  
 0 T215Y/M184V  
 239 5705  
 259480 72  
 1 5705-72  
 (5705 (W) 72)  
 8733 488



86304 101  
     0 488-101  
         (488(W)101)  
     2 C910  
 3808630 6  
     0 C910-6  
         (C910(W)6)  
     0 LA1M184V  
     4 G910  
 3808630 6  
     3 G910-6  
         (G910(W)6)  
     69212 HIV  
 1688347 HUMAN  
     70698 IMMUNODEFICIENCY  
     351308 VIRUS  
     52072 HUMAN IMMUNODEFICIENCY VIRUS  
         (HUMAN(W)IMMUNODEFICIENCY(W)VIRUS)  
 L20       599 (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR  
             T215Y/M184V OR (5705-72) OR (488-101) OR (C910-6) OR LA1M184V  
             OR (G910-6)) AND (HIV OR (HUMAN IMMUNODEFICIENCY VIRUS))

=> d his

(FILE 'HOME' ENTERED AT 15:22:39 ON 30 NOV 2006)

FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006

L1       STRUCTURE UPLOADED  
 L2       2 S L1 SSS SAM  
 L3       63 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006

L4       8 S L3/THU  
 L5       1 S L4 NOT PY>2002  
 L6       18 S L3 NOT PY>2003  
 L7       11 S L6 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

FILE 'USPATFULL' ENTERED AT 15:27:29 ON 30 NOV 2006

L8       20 S L3 NOT PY>2003  
 L9       18 S L8 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

FILE 'REGISTRY' ENTERED AT 16:04:09 ON 30 NOV 2006

L10       1 S ABACAVIR/CN  
 L11       1 S TENOFOVIR/CN  
 L12       1 S RACIVIR/CN  
 L13       1 S RTV/CN  
 L14       0 S IDV/CN  
 L15       4 S APV/CN  
 L16       1 S DIDANOSINE/CN

FILE 'CAPLUS' ENTERED AT 16:06:31 ON 30 NOV 2006

L17       2335 S L10/THU OR L11/THU OR L12/THU OR L16/THU  
 L18       686 S L17 NOT PY>2000  
 L19       593 S L18 AND (HIV)

FILE 'CAPLUS' ENTERED AT 16:11:39 ON 30 NOV 2006

L20       599 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR

=> s l20 and l3

        29 L3  
 L21       3 L20 AND L3

=> d l21 1-3 ti abs bib

L21 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Phosphoramidate and phosphate prodrugs of (-)- $\beta$ -D-(2R,4R)-dioxolane-thymine: Synthesis, anti-HIV activity and stability studies  
 AB A series of phosphoramidate and phosphate prodrugs of DOT were synthesized via dichlorophosphate or H-phosphonate chemical and evaluated for their anti-HIV activity against LAI M184V mutants in PBM cells as well as for their cytotoxicity. The antiviral and cytotoxic profiles of the prodrugs were compared with that of the parent compound (DOT), and it was found that four aryl phosphoramidates showed a significant enhancement (8- to 12-fold) in anti-HIV activity without cytotoxicity. Chemical stability of these prodrugs was evaluated in phosphate buffer at pH values of biol. relevance (i.e., pH 2.0 and 7.4). Enzymic hydrolysis was also studied in esterase or lipase in buffer solution. Chemical stability studies indicate that the phosphoramidates have good chemical stability at pH 2.0 and at pH 7.4 phosphate buffer. Phosphoramidate prodrugs were hydrolyzed in vitro by esterase or lipase and found to be better substrates for lipases than for esterases. 1,3-Diol cyclic phosphates showed potent anti-HIV activity without increasing the cytotoxicity compared with that of DOT and have good chemical and enzymic stability. Long-chain lipid phosphates, although showed potent anti-HIV activity, exhibited increased cytotoxicity.  
 AN 2006:156931 CAPLUS <<LOGINID::20061130>>  
 DN 144:403761  
 TI Phosphoramidate and phosphate prodrugs of (-)- $\beta$ -D-(2R,4R)-dioxolane-thymine: Synthesis, anti-HIV activity and stability studies  
 AU Liang, Yuzeng; Narayanasamy, Janarthanan; Schinazi, Raymond F.; Chu, Chung K.  
 CS The University of Georgia, College of Pharmacy, Athens, GA, 30602, USA  
 SO Bioorganic & Medicinal Chemistry (2006), 14(7), 2178-2189  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Anti-HIV Activity of (-)-(2R,4R)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine against Drug-Resistant HIV-1 Mutants and Studies of Its Molecular Mechanism  
 AB (-)-(2R,4R)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine (DOT) is the first thymidine kinase-activated nucleoside that is significantly active against all of the clin. significant NRTI-resistant HIV-1 mutants, including AZT (D67N/K70R/T215Y/K219Q), Tenofovir (K65R), and Lamivudine (M184V). To understand the mol. mechanism of drug resistance and the antiviral activity of DOT against drug-resistant RTs, mol. modeling studies of DOT-TP complexed with the wild-type (WT) and mutated RT were conducted. The key reason for this interesting antiviral activity profile is the presence of a dioxolane ring.  
 AN 2005:421890 CAPLUS <<LOGINID::20061130>>  
 DN 143:90249  
 TI Anti-HIV Activity of (-)-(2R,4R)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine against Drug-Resistant HIV-1 Mutants and Studies of Its Molecular Mechanism  
 AU Chu, Chung K.; Yadav, Vikas; Chong, Youhoon H.; Schinazi, Raymond F.  
 CS College of Pharmacy, University of Georgia, Athens, GA, 30602, USA  
 SO Journal of Medicinal Chemistry (2005), 48(12), 3949-3952  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Molecular mechanism of dioxolane nucleosides against 3TC resistant M184V mutant HIV  
 AB The mutation and resultant adaptability of HIV-1 reverse transcriptase (RT) present a major challenge to the design of the effective antiviral strategies because many initially potent drugs lose efficacy over time. Even though there is an urgent need for a comprehensive understanding of the mol. mechanism of anti-HIV drug resistance by mutant RTs, the unavailability of the structural information of the mutant RTs has prevented detailed investigations. In this study, the active site of the 3TC-resistant (M184V) RT is constructed by a computational method, which clearly shows that the side chain of Val184 occupies the binding site for the nucleoside triphosphates. Therefore, the distance between the side chain of Val184 and the sugar moiety of the nucleoside triphosphate must be closely related to the cross-resistance by M184V RT. The natural substrates, 2'-deoxyribo nucleoside triphosphates, escape from the steric stress from the bulky side chain of Val184 by virtue of the d-sugar conformation as well as the interaction of its 3'-OH group with Tyr115, which locates the nucleoside triphosphate out of the clashing distance from Val184. Similarly, the energy-minimized structures of various d-dioxolane nucleoside triphosphates (TP)/RT complexes indicate that the d-dioxolane sugar moiety acquires enough distance from Val184 due to the specific interaction of its 3'-oxygen atom with the nearby enzyme residues such as Tyr115 and Arg72.  
 AN 2004:489072 CAPLUS <<LOGINID::20061130>>  
 DN 141:218359  
 TI Molecular mechanism of dioxolane nucleosides against 3TC resistant M184V mutant HIV  
 AU Chong, Youhoon; Chu, Chung K.  
 CS Department of Pharmaceutical and Biomedical Sciences, College of Pharmacy, The University of Georgia, Athens, GA, 30602, USA  
 SO Antiviral Research (2004), 63(1), 7-13  
 CODEN: ARSRDR; ISSN: 0166-3542  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English

=> s l20 and dioxolane  
 15321 DIOXOLANE  
 L22 10 L20 AND DIOXOLANE

=> s l22 not py>2002  
 4632883 PY>2002  
 L23 3 L22 NOT PY>2002

=> s l22 not py>2003  
 3575313 PY>2003  
 L24 4 L22 NOT PY>2003

=> d l24 1-4 ti abs bib

L24 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Dioxolane Guanosine 5'-Triphosphate, an Alternative Substrate Inhibitor of Wild-type and Mutant HIV-1 Reverse Transcriptase: STEADY STATE AND PRE-STEADY STATE KINETIC ANALYSES  
 AB The frequency of human immunodeficiency virus , type 1 (HIV-1) mutations in response to antiviral therapy and resulting drug resistance is of major concern. Amdoxovir ((-)- $\beta$ -D-2,6-diaminopurine dioxolane), the prodrug of dioxolane guanosine (DXG), is currently in phase I/II clin. development for the treatment of HIV-1 infection. In vitro,

HIV-1 mutants resistant to 3'-azido-3'-deoxythymidine (M41L/D67N/K70R/T215Y/K219Q) and (-)β-L-2',3'-dideoxy-3'-thiacytidine (3TC) (M184V) remain sensitive to DXG. HIV-1 with the reverse transcriptase mutations K65R, L74V, and/or Q151M were less sensitive to DXG, whereas the mutation K103N re-sensitized the virus to the inhibitory effect of DXG. In order to understand these observations at the enzyme level, we investigated the inhibition of the HIV-1 reverse transcriptase-catalyzed viral DNA synthesis by dioxolane GTP (DXG-TP), 3'-azido-3'-deoxythymidine-TP, and 3TC-TP by using steady state kinetic anal. and the incorporation of DXG-5'-monophosphate by using pre-steady state kinetic anal. This mechanistic study provided detailed information on the amdoxovir-related drug resistance at a mol. level. Overall, the enzymic data correlated well with the antiviral data obtained from cell culture expts. and further supported the use of amdoxovir for the treatment of nucleoside reverse transcriptase inhibitor-experienced patients.

AN 2003:380702 CAPLUS <<LOGINID::20061130>>

DN 139:190691

TI Dioxolane Guanosine 5'-Triphosphate, an Alternative Substrate Inhibitor of Wild-type and Mutant HIV-1 Reverse Transcriptase: STEADY STATE AND PRE-STEADY STATE KINETIC ANALYSES

AU Jeffrey, Jerry L.; Feng, Joy Y.; Qi, C. C. Richard; Anderson, Karen S.; Furman, Phillip A.

CS Gilead Sci., Triangle Pharm., Inc., Durham, NC, 27717, USA

SO Journal of Biological Chemistry (2003), 278(21), 18971-18979

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

TI Molecular mechanisms of resistance to human immunodeficiency virus type 1 with reverse transcriptase mutations K65R and K65R + M184V and their effects on enzyme function and viral replication capacity

AB Human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) resistance mutations K65R and M184V result in changes in susceptibility to several nucleoside and nucleotide RT inhibitors. K65R-containing viruses showed decreases in susceptibility to tenofovir, didanosine (ddI), abacavir, and (-)-β-D- dioxolane guanosine (DXG; the active metabolite of amdoxovir) but appeared to be fully susceptible to zidovudine and stavudine in vitro. Viruses containing the K65R and M184V mutations showed further decreases in susceptibility to ddI and abacavir but increased susceptibility to tenofovir compared to the susceptibilities of viruses with the K65R mutation. Enzymic and viral replication analyses were undertaken to elucidate the mechanisms of altered drug susceptibilities and potential fitness defects for the K65R and K65R + M184V mutants. The relative inhibitory capacities (Ki/Km) of the active metabolites of tenofovir, ddI, and DXG were increased for the RT containing the K65R mutation compared to that for the wild-type RT, but the relative inhibitory capacity of abacavir was only minimally increased. For the mutant viruses with the K65R and M184V mutations, the increase in tenofovir susceptibility compared to that of the mutants with K65R correlated with a decrease in the tenofovir inhibitory capacity that was mediated primarily by an increased Km of dATP. The decrease in susceptibility to ddI by mutants with the K65R and M184V mutations correlated with an increase in the inhibitory capacity mediated by an increased Ki. ATP-mediated removal of carbovir as well as small increases in the inhibitory capacity of carbovir appear to contribute to

the resistance of mutants with the K65R mutation and the mutants with the K65R and M184V mutations to abacavir. Finally, both the HIV-1 K65R mutant and, more notably, the HIV-1 K65R + M184V double mutant showed reduced replication capacities and reduced RT processivities in vitro, consistent with a potential fitness defect in vivo and the low prevalence of the K65R mutation among isolates from antiretroviral agent-experienced patients.

AN 2002:828766 CAPLUS <<LOGINID::20061130>>

DN 138:313981

TI Molecular mechanisms of resistance to human immunodeficiency virus type 1 with reverse transcriptase mutations K65R and K65R + M184V and their effects on enzyme function and viral replication capacity

AU White, Kirsten L.; Margot, Nicolas A.; Wrin, Terri; Petropoulos, Christos J.; Miller, Michael D.; Naeger, Lisa K.

CS Gilead Sciences, Foster City, CA, 94404, USA

SO Antimicrobial Agents and Chemotherapy (2002), 46(11), 3437-3446

CODEN: AMACCQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

LA English

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

TI Dioxolane guanosine, the active form of the prodrug diaminopurine dioxolane, is a potent inhibitor of drug-resistant HIV-1 isolates from patients for whom standard nucleoside therapy fails

AB Amdoxovir ([-]- $\beta$ -D-2,6-diaminopurine dioxolane [DAPD]) is a nucleoside reverse transcriptase inhibitor (NRTI) with activity against HIV-1. DAPD is deaminated in vivo by adenosine deaminase to (-)- $\beta$ -D- dioxolane guanosine (DXG), a highly active anti-HIV compound. The median 50% effective concns. (EC<sub>50</sub>)  $\pm$  SD (representing antiviral activity against a laboratory-derived HIV-1 isolate) for DAPD and DXG in peripheral blood mononuclear cells were 4.0 $\pm$ 2.2  $\mu$ mol/L and 0.25 $\pm$ 0.17  $\mu$ mol/L, resp. The 50% cytotoxic dose (CC<sub>50</sub>) of both DAPD and DXG was >500  $\mu$ mol/L. Recombinant viruses and clin. isolates of HIV-1 from patients for whom NRTI therapy and/or nonnucleoside reverse transcriptase inhibitor (NNRTI) combination therapies failed remained susceptible to inhibition by DXG (less than fourfold change in EC<sub>50</sub>). Similar anal. showed that recombinant viruses harboring mutations known to confer resistance to NRTIs (zidovudine, lamivudine, and abacavir) and NNRTIs (efavirenz and nevirapine) as well as the multidrug resistance-associated mutation Q151M and double codon insertions (SS and SG) were also susceptible to inhibition by DXG. Resistance to DXG was observed only in recombinant isolates containing the 65R and 151M double mutations. Phenotypic anal. of a site-directed mutant containing only the 151M mutation demonstrated moderate resistance to DXG (<10-fold change in EC<sub>50</sub>). We also examined site-directed mutants containing only L74V or K65R, the characteristic resistance mutations for DXG. The L74V mutant remained susceptible to inhibition by DXG, and the K65R mutant demonstrated moderate resistance to DXG.

AN 2002:128778 CAPLUS <<LOGINID::20061130>>

DN 137:195021

TI Dioxolane guanosine, the active form of the prodrug diaminopurine dioxolane, is a potent inhibitor of drug-resistant HIV-1 isolates from patients for whom standard nucleoside therapy fails

AU Mewshaw, Jennifer P.; Myrick, Florence T.; Wakefield, Debby A. C. S.; Hooper, Brandi J.; Harris, Jeanette L.; McCreedy, Bruce; Borroto-Esoda, Katyna

CS Triangle Pharmaceuticals, Durham, NC, 27707, USA  
SO JAIDS, Journal of Acquired Immune Deficiency Syndromes (2002), 29(1),  
11-20  
CODEN: JJASFJ  
PB Lippincott Williams & Wilkins  
DT Journal  
LA English  
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
TI In vitro selection of mutations in the human  
immunodeficiency virus type 1 reverse transcriptase that  
decrease susceptibility to (-)- $\beta$ -D- dioxolane-guanosine and  
suppress resistance to 3'-azido-3'-deoxythymidine  
AB Human immunodeficiency virus type 1 (HIV-1) isolates resistant to (-)- $\beta$ -D- dioxolane  
-guanosine (DXG), a potent and selective nucleoside analog HIV-1  
reverse transcriptase (RT) inhibitor, were selected by serial passage of  
HIV-1LAI in increasing drug concns. (maximum concentration, 30  $\mu$ M). Two  
independent selection expts. were performed. Viral isolates for which the  
DXG median effective concns. (EC50s) increased 7.3- and 12.2-fold were  
isolated after 13 and 14 passages, resp. Cloning and DNA sequencing of  
the RT region from the first resistant isolate identified a K65R  
mutation (AAA to AGA) in 10 of 10 clones. The role of this mutation in  
DXG resistance was confirmed by site-specific mutagenesis of HIV  
-1LAI. The K65R mutation also conferred greater than threefold  
cross-resistance to 2',3'-dideoxycytidine, 2',3'-dideoxyinosine,  
2',3'-dideoxy-3'-thiacytidine, 9-(2-phosphonylmethoxyethyl)adenine,  
2-amino-6-chloropurine dioxolane, dioxolanyl-5-fluorocytosine,  
and diaminopurine dioxolane but had only marginal effects on  
3'-azido-3'-deoxythymidine (AZT) susceptibility. However, when introduced  
into a genetic background for AZT resistance (D67N, K70R, T215Y,  
T219Q), the K65R mutation reversed the AZT resistance. DNA  
sequencing of RT clones derived from the second resistant isolate  
identified the L74V mutation, previously reported to cause ddI  
resistance. The L74V mutation also decreased the AZT resistance  
when the mutation was introduced into a genetic background for AZT  
resistance (D67N, K70R, T215Y, T219Q) but to a lesser degree  
than the K65R mutation did. These findings indicate that DXG  
and certain 2',3'-dideoxy compds. (e.g., ddI) can select for the same  
resistance mutations and thus may not be optimal for use in combination.  
However, the combination of AZT with DXG or its orally bioavailable  
prodrug (-)- $\beta$ -D-2,6-diaminopurine- dioxolane should be  
explored because of the suppressive effects of the K65R and  
L74V mutations on AZT resistance.

AN 2000:446712 CAPLUS <<LOGINID::20061130>>

DN 133:190361

TI In vitro selection of mutations in the human  
immunodeficiency virus type 1 reverse transcriptase that  
decrease susceptibility to (-)- $\beta$ -D- dioxolane-guanosine and  
suppress resistance to 3'-azido-3'-deoxythymidine  
AU Bazmi, Holly Z.; Hammond, Jennifer L.; Cavalcanti, Socrates C. H.; Chu,  
Chung K.; Schinazi, Raymond F.; Mellors, John W.  
CS Department of Infectious Diseases and Microbiology, Graduate School of  
Public Health, University of Pittsburgh, Pittsburgh, PA, 15261, USA  
SO Antimicrobial Agents and Chemotherapy (2000), 44(7), 1783-1788  
CODEN: AMACCQ; ISSN: 0066-4804

PB American Society for Microbiology  
DT Journal  
LA English

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatfull  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
67.71	396.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
-5.25	-17.25

FILE 'USPATFULL' ENTERED AT 16:16:12 ON 30 NOV 2006  
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 28 Nov 2006 (20061128/PD)  
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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

=> s (XXBRU or K65R or M184V or L74V or 4Xazt or t215y or k103n or t215y/m184v or (5705-72) or (488-101) or (C910-6) or la1m184v or (G910-6)) and (HIV or (Human immunodeficiency virus))

'M184V' IS NOT A VALID FIELD CODE

13 XXBRU  
37 K65R  
72 M184V  
46 L74V  
8 4XAZT  
63 T215Y  
339 K103N  
0 T215Y/M184V  
1707 5705  
1284822 72  
1 5705-72  
(5705(W)72)  
80214 488  
646858 101  
15 488-101  
(488(W)101)  
15 C910  
4359550 6  
1 C910-6  
(C910(W)6)  
1 LA1M184V  
66 G910  
4359550 6  
32 G910-6  
(G910(W)6)  
46453 HIV  
532883 HUMAN  
26207 IMMUNODEFICIENCY  
108041 VIRUS  
18657 HUMAN IMMUNODEFICIENCY VIRUS  
(HUMAN(W)IMMUNODEFICIENCY(W)VIRUS)

L25 426 (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR T215Y/M184V OR (5705-72) OR (488-101) OR (C910-6) OR LA1M184V OR (G910-6)) AND (HIV OR (HUMAN IMMUNODEFICIENCY VIRUS))

=> s 125 and L3  
25 L3

L26

3 L25 AND L3

=> d 126 1-3 ti abs bib

L26 ANSWER 1 OF 3 USPATFULL on STN

TI Dioxolane thymine and combinations for use against 3tc/azt resistant strains of hiv

AB The present invention relates to the use of a dioxolane thymine compound according to the chemical structure of Formula (I): where R<sup>sup.1</sup> is H, an acyl group, a C<sub>sub.1</sub>-C<sub>sub.20</sub> alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group, for use in the treatment of HIV infections which exhibit resistance to 3TC and/or AZT. Preferably, compounds according to the present invention are combined with at least one anti-HIV agent which inhibits HIV by a mechanism other than through the inhibition of thymidine kinase (TK). These agents include those selected from among nucleoside reverse transcriptase inhibitors (NRTI), non-nucleoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, among others. These agents are generally selected from the group consisting of 3TC (Lamivudine), AZT (Zidovudine), (-)-FTC, ddI (Didanosine), ddC (zalcitabine), abacavir (ABC), tenofovir (PMPA), D-D4FC (Reverset), D4T (Stavudine), Racivir, L-D4FC, NVP (Nevirapine), DLV (Delavirdine), EFV (Efavirenz), SQVM (Saquinavir mesylate), RTV (Ritonavir), IDV (Indinavir), SQV (Saquinavir), NFV (Nelfinavir), APV (Amprenavir), LPV (Lopinavir), fuseon and mixtures thereof. The TK dependent agents, such as AZT and D4T, may be used in combination with one of the dioxolane thymine compounds according to the present invention, but the use of such agents may be less preferred. In preferred compositions according to the present invention, R<sup>sup.1</sup> is preferably H or a C<sub>sub.2</sub>-C<sub>sub.18</sub> acyl group or a monophosphate group. Pharmaceutical compositions and methods of reducing the likelihood that a patient at risk for contract an HIV infection will contract the infection are other aspects of the present invention. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2005:241191 USPATFULL <<LOGINID::20061130>>

TI Dioxolane thymine and combinations for use against 3tc/azt resistant strains of hiv

IN Chu, Chung K., Athens, GA, UNITED STATES

Schinazi, Raymond F., Atlanta, GA, UNITED STATES

PI US 2005209196 A1 20050922

AI US 2003-530088 A1 20031208 (10)

WO 2003-US39029 20031208

20050401 PCT 371 date

PRAI US 2002-431812P 20021209 (60)

DT Utility

FS APPLICATION

LREP COLEMAN SUDOL SAPONE, P.C., 714 COLORADO AVENUE, BRIDGE PORT, CT, 06605-1601, US

CLMN Number of Claims: 44

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 873

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 2 OF 3 USPATFULL on STN

TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds

AB The present invention relates to a method of preparing the antiviral compounds 2'-deoxy-5-fluoro-3'-thiacytidine (FTC) and various prodrug analogues of FTC from inexpensive precursors with the option of introducing functionality as needed; methods of using these compounds, particularly in the prevention and treatment of AIDS; and the compounds themselves. This synthetic route allows the stereoselective preparation



of the biologically active isomer of these compounds and related compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 97:120747 USPATFULL <<LOGINID::20061130>>  
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds  
IN Liotta, Dennis C., McDonough, GA, United States  
Schinazi, Raymond F., Decatur, GA, United States  
Choi, Woo-Baeg, North Brunswick, NJ, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5700937 19971223  
AI US 1995-481556 19950607 (8)  
RLI Continuation-in-part of Ser. No. US 1995-402730, filed on 15 Mar 1995 which is a continuation of Ser. No. US 1993-92248, filed on 15 Jul 1993, now abandoned which is a continuation of Ser. No. US 1991-736089, filed on 26 Jul 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-659760, filed on 22 Feb 1991, now patented, Pat. No. US 5210085 which is a continuation-in-part of Ser. No. US 1990-473318, filed on 1 Feb 1990, now patented, Pat. No. US 5204466  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Wong, King Lit  
LREP King & Spalding  
CLMN Number of Claims: 2  
ECL Exemplary Claim: 1  
DRWN 8 Drawing Figure(s); 7 Drawing Page(s)  
LN.CNT 1517

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 3 OF 3 USPATFULL on STN

TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds  
AB The present invention relates to a method of preparing the antiviral compounds 2'-deoxy-5-fluoro-3'-thiacytidine (FTC) and various prodrug analogues of FTC from inexpensive precursors with the option of introducing functionality as needed; methods of using these compounds, particularly in the prevention and treatment of AIDS; and the compounds themselves. This synthetic route allows the stereoselective preparation of the biologically active isomer of these compounds and related compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 93:37719 USPATFULL <<LOGINID::20061130>>  
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds  
IN Liotta, Dennis C., Stone Mountain, GA, United States  
Schinazi, Raymond F., Decatur, GA, United States  
Choi, Woo-Baeg, North Brunswick, NJ, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5210085 19930511  
AI US 1991-659760 19910222 (7)  
RLI Continuation-in-part of Ser. No. US 1990-473318, filed on 1 Feb 1990  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Tsang, Cecilia  
LREP Kilpatrick & Cody  
CLMN Number of Claims: 21  
ECL Exemplary Claim: 1  
DRWN 8 Drawing Figure(s); 7 Drawing Page(s)  
LN.CNT 1571

CAS INDEXING IS AVAILABLE FOR THIS PATENT.